WHAT IS CLAIMED IS:

1. In a process for preparing a peptide bond from the reaction between an amino compound and an acylating derivative of a carboxylic acid, said amino compound being an amino acid or peptide and said carboxylic acid being an N-terminal amino protected amino acid or an N-terminal amino protected peptide, the improvement comprising adding to said reaction an effective amount of compound having the formula:

or N-oxides thereof or salts thereof wherein

R₁ and R₂ taken together with the carbon atoms to which they are attached form an aryl or heteroaryl ring, wherein said aryl ring contains 6-14 ring carbon atom and said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing from 3 to 13 ring carbon atoms and 1-4 heteroatoms selected from O, S and N, said aryl and heteroaryl ring may each independently be unsubstituted or substituted with lower alkyl or an electron donating group;

Y is O, NR₄ or CR₄R₅;

R₅ is hydrogen or lower alkyl;

R₄ is hydrogen or lower alkyl;

X is CR₆R₇ or NR₆;

 R_6 and R_7 are independently hydrogen or lower alkyl or R_6 and R_7 taken together may form an oxo;

Q is CR₈R₉ or NR₈;

n is O or 1;

R₈ and R₉ are independently hydrogen or lower alkyl or R₇ and R₈

taken together with the carbon atom to which they are attached form an aryl ring; or R_8 may be taken with R_4 to form a bond between Q and Y or R_8 may be taken together with R_6 to form a bond between Q and X; provided there is no double bond simultaneously between X and Q and Q and Y; or R_4 and R_6 may form a bond between X and Y, when Y is NR_4 or CR_4R_5 and Q is not present;

$$R_3 \text{ is} - P - R_{10} \text{ or } Rb_1$$

$$R_{11}$$

$$Rb_1$$

$$Rc_2$$

R₁₀ is OR₁₂, lower alkyl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

R₁₁ is OR₁₃, lower alkyl, aryl lower alkyl, lower cycloalkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkyl lower alkyl, lower cycloalkenyl, or lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may optionally be connected by a bridging group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3;

R₁₂ and R₁₃ are independently lower alkyl, lowercycloalkyl, lowercycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl lower cycloalkenyl lower alkyl;

T is O, S, NR_{31} , or (CHR_{31}) ;

R₃₁ is hydrogen or lower alkyl;

ring A₁ and ring B are independently aromatic containing 6 to 14 ring carbon atoms, are cycloalkenyl or cycloalkyl each containing 5 to 14 ring carbon atoms, and

R_{b1}, R_{c1}, R_{b2}, and R_{c2} are independently hydrogen, lower alkyl or electron donating group and T is CH₂, O, S or NR₃₀ wherein R₃₀ is hydrogen or

lower alkyl.

2. In a process for forming an amide from a reaction of an organic amine and an acylating derivative of a carboxylic acid, the improvement comprising adding to said reaction an effective amount of a compound having the formula:

$$R_1$$
 Y $Q_{(n)}$ X X $Q_{(n)}$ X $Q_{(n)}$ X $Q_{(n)}$

or N-oxides thereof or salts thereof wherein

R₁ and R₂ taken together with the carbon atoms to which they are attached form an aryl or a heteroaryl ring, wherein said aryl ring is an aromatic ring containing 6-14 ring carbon atoms and wherein said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing from 3 to 13 ring carbon atoms, and 1-4 heteroatoms selected from O, S, and N, said aryl and heteroaryl ring may each independently be unsubstituted or substituted with lower alkyl or an electron donating group;

Y is O, NR4 or CR4R5;

R₅ is hydrogen or lower alkyl;

R4 is hydrogen or lower alkyl;

X is CR_6R_7 or NR_6 ;

 R_6 and R_7 are independently hydrogen, or lower alkyl or R_6 and R_7 taken together may form an oxo;

Q is CR₈R₉ or NR₈;

n is 0 or 1;

 R_8 and R_9 are independently hydrogen or lower alkyl or R_7 and R_8

taken together with the carbon atom to which they are attached form an aryl ring; or R₈ may be taken with R₄ to form a bond between Q and Y or R₈ may be taken together with R₆ to form a bond between Q and X provided there is no double bond simultaneously between X and Q and Q and Y; or R₄ and R₆ may form a bond between X and Y, when Y is NR₄ or CR₄R₅ and Q is not present;

$$R_{3} \text{ is } - P - R_{10} \text{ or } Rb_{1} \\ R_{11} \\ RC_{2}$$

R₁₀ is OR₁₂, lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

R₁₁ is OR₁₃, lower alkyl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, or lower cycloalkenyl lower alkyl;

and R₁₀ and R₁₁ may optionally be connected by a bridging group selected from the group consisting of O, S, NR₃₀, or (CHR₃₀)_m, wherein each R₃₀ is independently lower alkyl or hydrogen and m is 1-3;

 R_{12} and R_{13} are independently lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

ring A₁ and ring B are independently aromatic containing 6 to 14 ring carbon atoms or cycloalkenyl or cyclalkyl, each containing 5 to 14 ring carbon atoms;

T is O, S, NR_{31} , or (CHR_{31}) ;

R₃₁ is hydrogen or lower alkyl; and

 R_{b1} , R_{c1} , R_{b2} , R_{c2} are independently hydrogen, lower alkyl or electron donating group.

3. In the synthesis of peptides wherein a first N α -amino protected amino acid is covalently coupled to a solid phase peptide synthesis resin, the N α -amino protecting group is cleaved off and the resulting free amino group is coupled via a peptide linkage to the carboxylic group of a second N α -amino protected amino acid and the cycle is repeated until the desired peptide has been obtained and then the peptide is cleaved from said resin, the improvement comprising adding to the coupling step an effective amount of a compound having the formula:

$$R_1$$
 Y $Q_{(n)}$ X $Q_{(n)}$ $Q_{(n)}$

or N-oxides thereof or salts thereof wherein

R₁ and R₂ taken together with the carbon atoms to which they are attached form an aryl or heteroaryl ring, wherein said aryl ring is an aromatic ring containing 6-14 ring carbon atoms and said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing from 3 to 13 ring carbon atoms, and 1-4 heteroatoms selected from O, S, or N, said aryl and heteroaryl ring may each independently be unsubstituted or substituted with lower alkyl or an electron donating group;

Y is O, NR₄ or CR₄R₅;

R₅ is hydrogen or lower alkyl;

R₄ is hydrogen or lower alkyl;

X is CR₆R₇ or NR₆;

 R_6 and R_7 are independently hydrogen or lower alkyl or R_6 and R_7 taken together may form an oxo;

Q is CR₈R₉ or NR₈;

n is 0 or 1;

R₈ and R₉ are independently hydrogen or lower alkyl or R₇ and R₈ taken together with the carbon atom to which they are attached form an aryl ring; or R₈ may be taken with R₄ to form a bond between Q and Y or R₈ may be taken together with R₆ to form a bond between Q and X provided there is no double bond simultaneously between X and Q and Q and Y; or R₄ and R₆ may form a bond between X and Y, when Y is NR₄ or CR₄R₅ and Q is not present;

$$R_3$$
 is $P - R_{10}$ or R_{11} R_{11}

R₁₀ is OR₁₂, lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

R₁₁ is OR₁₃, lower alkyl, aryl lower alkyl, lower cycloalkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may optionally be connected by a bridging group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3; and

R₁₂ and R₁₃ are independently lower alkyl, lowercycloalkyl, aryl, aryl lower alkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, or lower cycloalkenyl lower alkyl;

ring A_1 and ring B are independently aromatic containing 6 to 14 ring carbon atoms and are cycloalkenyl or cycloalkyl each containing 5 to 14 ring carbon atoms;

R_{b1}, R_{c1}, R_{b2}, R_{c2} are independently hydrogen, lower alkyl or

electron donating group;

T is (CHR₃₁), O, S or NR₃₁; and R₃₁ is hydrogen or lower alkyl.

4. The improved process according to any one of Claims 1-3 wherein the compound has the formula:

$$R_1$$
 Y $Q_{(n)}$ X $Q_{(n)}$ X $Q_{(n)}$ X $Q_{(n)}$

or N-oxides thereof or salts thereof.

- 5. The improved process according to any one of Claims 1-4 wherein R₁ and R₂ taken together with the carbon atoms to which they are attached form a phenyl ring or a heteroaryl ring, wherein said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing from 3 to 13 ring carbon atoms, and contains either at least 1 sulfur ring atom or at least 1 oxygen ring atom or at least two nitrogen ring atoms, said heteroaryl ring may be unsubstituted or substituted with lower alkyl or an electron donating group.
- 6. In a process for preparing a peptide bond from the reaction between an amino compound and an acylating derivative of a carboxylic acid according to any one of Claims 1-5 wherein said amino compound is an amino acid or peptide and said carboxylic acid is an N-terminal amino protected amino acid or an N-terminal amino protected peptide, the improvement comprising adding to said reaction an effective amount of compound having the formula:

or N-oxides thereof or salts thereof wherein

R₁ and R₂ taken together with the carbon atoms to which they are attached form an aryl ring or heteroaryl ring, wherein said aryl ring contains 6-14 ring carbon atoms and said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing from 3 to 13 ring carbon atoms, said aryl and heteroaryl ring may each independently be unsubstituted or substituted with lower alkyl or an electron donating group;

Y is O, NR₄ or CR₄R₅;

R₅ is hydrogen or lower alkyl;

R₄ is hydrogen or lower alkyl;

X is CR₆R₇ or NR₆;

 $R_{\rm 6}$ and $R_{\rm 7}$ are independently hydrogen or lower alkyl or $R_{\rm 6}$ and $R_{\rm 7}$ taken together may form an oxo;

n is 0 or 1;

 R_{10} is OR_{12} , lower alkyl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

R₁₁ is OR₁₃, lower alkyl, aryl lower alkyl, lower cycloalkyl heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, or lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may optionally be connected by a bridging group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3;

 R_{12} and R_{13} are independently lower alkyl, aryl, aryl lower alkyl, lowercycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

ring A₁ and ring B are independently an aromatic ring containing 6 to 14 ring carbon atoms or cycloalkyl or cycloakenyl each containing 5 to 14 ring carbon atoms;

 R_{b1} , R_{c1} , R_{c2} and R_{b2} are independently hydrogen, lower alkyl or electron donating groups;

T is (CHR $_{31}$), O, S, or NR $_{31}$; and R $_{31}$ is hydrogen or lower alkyl.

7. In a process for preparing a peptide bond from the reaction between an amino compound and an acylating derivative of a carboxylic acid according to any one of Claims 1-5, wherein said amino compound is an amino acid or peptide and said carboxylic acid is an N-terminal amino protected amino acid or an N-terminal amino protected peptide, the improvement comprising adding to said reaction an effective amount of compound having the formula:

or N-oxides thereof or salts thereof wherein

R₁ and R₂ taken together with the carbon atoms to which they are attached form an aryl or an heteroaryl ring, wherein said aryl ring is an aromatic ring containing 6-14 ring carbon atoms and wherein heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing from 3 to 13 ring carbon atoms, said heteroaryl rings may each independently be unsubstituted or substituted with lower alkyl or an electron donating group;

Y is N or CR5;

R₅ is hydrogen or lower alkyl;

X is CR7 or N;

R₇ is hydrogen or lower alkyl;

$$R_3$$
 is $P - R_{10}$ or R_{11} $R_{$

R₁₀ is OR₁₂, lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

R₁₁ is OR₁₃, lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may be connected by a bridging group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3; and

R₁₂ and R₁₃ are independently lower alkyl, aryl, aryl lower alkyl, lowercycloalkyl, lowercycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

ring A_1 and ring B are independently aromatic rings containing 6 to 14 ring carbon atoms or cycloalkenyl or cycloalkyl each containing 5 to 14 ring

carbon atoms;

 R_{b1} , R_{c1} , R_{b2} and R_{c2} are independently hydrogen, lower alkyl or an electron donating group; and

T is (CHR₃₁), O, S or NR₃₁ and R₃₁ is hydrogen or lower alkyl.

8. The process according to any one of Claims 1-7 wherein R_{10} is OR_{12} , lower alkyl, aryl, or aryl lower alkyl, R_{11} is OR_{13} , lower alkyl, aryl or aryl lower alkyl or R_{10} and R_{11} may be connected by a group selected form the group consisting of O, S, NH, or $(CH_2)_m$, and

 R_{12} and R_{13} are independently lower alkyl, aryl, or aryl lower alkyl.

9. The process according to any one of Claims 1-8 wherein

$$R_3$$
 is $P \longrightarrow OR_{12}$ wherein R_{12} and R_{11} are R_{11}

independently lower alkyl or aryl.

10. The process according to any one of Claim 1-8 wherein

$$R_3$$
 is $P \longrightarrow OR_{12}$ wherein R_{12} and R_{13} are OR_{13}

independently lower alkyl or aryl.

11. In a process for preparing a peptide bond from the reaction between an amino compound and an acylating derivative of a carboxylic acid

according to Claim 1 or 2, said amino compound being an amino acid or peptide and said carboxylic acid being an N-terminal amino protected amino acid or an N-terminal amino protected peptide, the improvement comprising adding to said reaction an effective amount of compound having the formula:

or N-oxides thereof or salts thereof wherein

R₁ and R₂ taken together with the carbon atoms to which they are attached form an aryl or heteroaryl ring, wherein said aryl ring is an aromatic ring containing 6-14 ring carbon atoms and said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing from 3 to 13 ring carbon atoms, said aryl and heteroaryl ring may each independently be unsubstituted or substituted with lower alkyl or an electron donating group;

$$R_3$$
 is $P \longrightarrow R_{10}$ or $A_1 \longrightarrow R_{11}$ $R_{11} \longrightarrow R_{10}$ $R_{11} \longrightarrow R_{11}$ $R_{11} \longrightarrow R_{11}$ $R_{11} \longrightarrow R_{11}$ $R_{11} \longrightarrow R_{11}$

R₁₀ is OR₁₂, lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, or lower cycloalkenyl lower alkyl;

R₁₁ is OR₁₃, lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl,

lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, or lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may optionally be connected by a bridging group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3;

R₁₂ and R₁₃ are independently lower alkyl, aryl, aryl lower alkyl, lowercycloalkyl, lowercycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

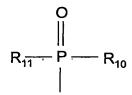
ring A_1 and ring B are independently an aromatic ring containing 6 to 14 ring carbon atoms or cycloalkenly or cycloalkyl, each containing 5 to 14 ring carbon atoms;

T is O, S, NR_{31} or (CHR_{31}) ;

R₃₁ is hydrogen or lower alkyl; and

 R_{b1} , R_{b2} , R_{c1} and R_{c2} are independently hydrogen, lower alkyl or an electron donating group.

12. The process according to Claim 11 wherein R₃ is



wherein R_{10} is lower alkyl or aryl or OR_{12} ;

R₁₁ is lower alkyl or aryl or OR₁₃;

R₁₂ is lower alkyl and

R₁₃ is lower alkyl.

13. The process according to Claim 12 wherein the compound has the formula:

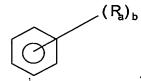
- 14. The process according to Claim 11 wherein T is (CH₂), O, S or NH.
- 15. The process according to Claim 14 wherein T is CH₂ or O.
- 16. The process according to Claim 1 or 2 wherein the acylating derivative of the carboxylic acid is

BLK-AA-M

wherein

BLK is an amino protecting group;

AA is an amino acid



M is OH, halo or

each R_a is independently halo, lower alkyl, or electron withdrawing group and b is 0-5.

- 17. The process according to Claim 16 wherein BLK is FMOC, BOC, TEOC, Aoc, Adoc, Bpoc, Azoc, Ddz, Poc, Foc, Moz, Nps, Dts, Cbz, Bspoc, Bsmoc, NPS, formyl, acetyl or trifluoroacetyl.
 - 18. The process according to Claim 17 wherein BLK is Cbz, Bspoc or BOC.
 - 19. The process according to Claim 16 wherein Ra is cyano or nitro.

20. A compound of the formula:

$$R_1$$
 $Q_{(n)}$ X $Q_{(n)}$ X $Q_{(n)}$

or N-oxides thereof or salts thereof wherein

R₁ and R₂ taken together with the carbon atoms to which they are attached form an aryl or heteroaryl ring, wherein said aryl ring is an aromatic containing 6-14 ring carbon atoms and said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing from 3 to 13 ring carbon atoms and 1-4 heteroatoms selected from O, S and N, said aryl and heteroaryl rings may each independently be unsubstituted or substituted with lower alkyl or an electron donating group;

Y is O, NR₄ or CR₄R₅;

R₅ is hydrogen or lower alkyl;

R₄ is hydrogen or lower alkyl;

X is CR₆R₇ or NR₆;

 R_6 and R_7 are independently hydrogen or lower alkyl or R_6 and R_7 taken together may form an oxo;

Q is CR₈R₉ or NR₈;

n is 0 or 1;

R₈ and R₉ are independently hydrogen or lower alkyl or R₇ and R₈ taken together with the carbon atom to which they are attached form an aryl ring; or R₈ may be taken with R₄ to form a bond between Q and Y or R₈ may be taken together with R₆ to form a bond between Q and X, provided there is no double bond simultaneously between X and Q and Q and Y; or R₄ and R₆ may form a

bond between X and Y, when Y is NR4 or CR4R5 and Q is not present;

$$R_3$$
 is $P - R_{10}$ or Rb_1 Rc_2 Rc_2

R₁₀ is OR₁₂, lower alkyl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

R₁₁ is OR₁₃, lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may optionally be connected by a bridging group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3; and

 R_{12} and R_{13} are independently lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

ring A_1 and ring B are independently aromatic containing 6 to 14 ring carbon atoms or cycloalkenyl or cycloalkyl, each containing 5 to 14 ring carbon atoms;

 R_{b1} , R_{c1} , R_{b2} , R_{c2} are independently hydrogen, lower alkyl or electron donating group;

T is (CHR₃₁), O, S or NR₃₁; and

R₃₁ is hydrogen or lower alkyl.

21. The compound according to Claim 20 of the formula:

$$\begin{array}{c|c} R_1 & Y & Q_{(n)} \\ \hline & X & \\ & X & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

or N-oxides thereof or salts thereof wherein

R₁ and R₂ taken together with the carbon atoms to which they are attached form an aryl or heteroaryl ring, wherein said aryl ring is an aromatic containing 6-14 ring carbon atoms and said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing from 3 to 13 ring carbon atoms, said aryl ring and heteroaryl ring may each be unsubstituted or substituted with lower alkyl or an electron donating group;

Y is O, NR₄ or CR₄R₅;

R₅ is hydrogen or lower alkyl;

R₄ is hydrogen or lower alkyl;

X is CR₆R₇ or NR₆;

 $$R_{6}$$ and $$R_{7}$$ are independently hydrogen lower alkyl or $$R_{6}$$ and $$R_{7}$$ taken together may form an oxo;

O is CR₈R₉ or NR₈;

 R_8 and R_9 are independently hydrogen or lower alkyl or R_7 and R_8 taken together with the carbon atom to which they are attached form an aryl ring; or R_8 may be taken with R_4 to form a bond between Q and Y; or R_8 may be taken together with R_6 to form a bond between Q and X; provided there is no double bond simultaneously between X and Q and Q and Y;

$$\begin{array}{c|c} O & & & & \\ R_3 \text{ is } P & R_{10} & & \\ R_{11} & & & \\ \end{array} \quad \begin{array}{c} O & \\ Rb_2 \\ \\ Rc_1 & \\ \end{array} \quad \begin{array}{c} Rb_2 \\ \\ Rc_2 & \\ \end{array}$$

 R_{10} is OR_{12} , lower alkyl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

R₁₁ is OR₁₃, lower alkyl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may optionally be connected by a bridging group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3; and

R₁₂ and R₁₃ are independently lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

ring A_1 and ring B are independently aromatic containing 6 to 14 ring carbon atoms or cycloalkenyl or cycoalkyl, each containing 5 to 14 ring carbon atoms;

 $R_{b1}, R_{c1}, R_{b2}, R_{c2} \mbox{ are independently hydrogen, lower alkyl or} \\$ electron donating group;

T is (CHR₃₁), O, S or NR₃₁; and

R₃₁ is hydrogen or lower alkyl.

22. The compound according to Claims 20 and 21 wherein

R₁ and R₂ taken together with the carbon atoms to which they are attached form an aryl ring or heteroaryl ring, wherein said aryl ring is phenyl or naphthyl and said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing from 3 to 13 ring carbon atoms and contains either at least 1 sulfur ring

atom or at least 1 oxygen ring atom or at least two nitrogen ring atoms, said aryl and heteroaryl ring may each be unsubstituted or substituted with lower alkyl or an electron donating group.

23. The compound according to Claim 20 having the formula:

or N-oxides thereof or salts thereof wherein

R₁ and R₂ taken together with the carbon atoms to which they are attached form an aryl or heteroaryl ring, wherein said aryl ring is an aromatic ring containing 6-14 ring carbon atoms and wherein said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing from 3 to 13 ring carbon atoms, said aryl and heteroaryl ring may each be unsubstituted or substituted with lower alkyl or an electron donating group;

Y is O, or CR_4R_5 ;

R₅ is hydrogen or lower alkyl;

R4 is hydrogen or lower alkyl;

X is CR₆R₇ or NR₆;

 R_6 and R_7 are independently hydrogen or lower alkyl or R_6 and R_7 taken together may form an oxo;

n is 0 or 1;

$$R_3$$
 is $P \longrightarrow R_{10}$ or $Rb_1 \longrightarrow Rc_2$ $Rc_1 \longrightarrow Rc_2$

R₁₀ is OR₁₂, lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

R₁₁ is OR₁₃, lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may optionally be connected by a group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3;

 R_{12} and R_{13} are independently lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, or lowercycloalkenyl lower alkyl;

ring A_1 and ring B are independently aromatic containing 6 to 14 ring carbon atoms or cycloalkenyl or cycloalkyl, each containing 5 to 14 ring carbon atoms;

 $R_{b1},\,R_{c1},\,R_{b2},\,R_{c2}$ are independently hydrogen, lower alkyl or electron donating group;

T is (CHR₃₁), O, S or NR₃₁; and R_{31} is hydrogen or lower alkyl.

24. The compound according to Claim 20 of the formula:

or N-oxides thereof or salts thereof wherein

 R_1 and R_2 taken together with the carbon atoms to which they are attached form an aryl or heteroaryl ring, wherein said aryl ring is an aromatic ring containing 6-14 ring carbon atoms and said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing from 3 to 13 ring carbon atoms, said aryl and heteroaryl ring may each be unsubstituted or substituted with lower alkyl or an electron donating group;

Y is N or CR5:

R₅ is hydrogen or lower alkyl;

X is CR7 or N;

R₇ is hydrogen or lower alkyl;

$$R_3$$
 is $P \longrightarrow R_{10}$ or $Rb_1 \longrightarrow Rc_2$ Rc_2

R₁₀ is OR₁₂, lower alkyl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic lower alkyl, lower cycloalkenyl, or lower cycloalkenyl lower alkyl;

R₁₁ is OR₁₃, lower alkyl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may optionally be connected by a bridging group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3; and

 R_{12} and R_{13} are independently lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

ring A_1 and ring B are independently aromatic containing 6 to 14 ring carbon atoms or cycloalkenyl or cycloalkyl, each containing 5 to 14 ring carbon atoms, and

 $R_{b1},\,R_{c1},\,R_{b2},\,R_{c2} \mbox{ are independently hydrogen, lower alkyl or electron donating group;}$

T is (CHR₃₁), O, S or NR₃₁; and R_{31} is hydrogen or lower alkyl.

- 25. The compound according to any one of Claims 20-24 wherein R_{10} is OR_{12} , lower alkyl, aryl, or aryl lower alkyl; R_{11} is OR_{13} , lower alkyl, aryl, or aryl lower alkyl and R_{10} and R_{11} may be connected by a group selected form the group consisting of O, S, NH, or $(CH_2)_m$, and R_{12} and R_{13} are independently lower alkyl, aryl, or aryl lower alkyl.
 - 26. The compound according to Claim 25 wherein R₃ is

O
$$\parallel$$
 $\longrightarrow P \longrightarrow OR_{10}$ wherein R_{10} and R_{11} are \parallel
 \parallel
 \parallel

independently lower alkyl or aryl.

27. The compound according to Claim 25 wherein

$$R_3$$
 is $P \longrightarrow OR_{12}$ wherein R_{12} and R_{13} are OR_{13}

independently lower alkyl or aryl.

28. The compound according to Claim 20 of the formula

or N-oxides thereof or salts thereof.

29. The compound according to Claim 28 wherein R₃ is

$$\begin{array}{c|c}
O \\
\parallel \\
R_{11} P \longrightarrow R_{10}
\end{array}$$

wherein R₁₀ is lower alkyl or aryl or OR₁₂;

R₁₁ is lower alkyl or aryl or OR₁₀;

R₁₂ is lower alkyl and

R₁₃ is lower alkyl.

30. The compound according to Claim 29 wherein the compound has the formula:

31. The compound according to Claim 28 wherein the compound is

wherein Rb_1 , Rb_2 , Rc_1 and Rc_2 are independently hydrogen or lower alkyl, and T is O, S, NH or CH_2 .

32. In a process for preparing a peptide bond from the reaction between an amino compound and an acylating derivative of a carboxylic acid, said amino compound being an amino acid or peptide and said carboxylic acid being an N-terminal amino protected amino acid or an N-terminal amino

protected peptide, the improvement comprising adding to said reaction an effective amount of a compound of the formula or a salt, the cation of which has the formula:

$$R_1$$
 Y_1
 Q_1
 N
 OR_{14}

wherein

R₁ and R₂ taken together with the carbon atoms to which they are attached form an heteroaryl ring wherein said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing from 3 to 13 ring carbon atoms and 1-4 heteroatoms selected from O, S and N, said heteroaryl ring may be unsubstituted or substituted with lower alkyl or electron donating group;

Y₁ is N or CR₁₅; R₁₅ is H or lower alkyl;

Q₁ is N or CR₁₆;

R₁₆ is H or lower alkyl;

R₁₄ is hydrogen, a positively charged electron withdrawing group,

$$\begin{array}{c|c}
O & Rb_2 \\
Rb_1 & Rc_2 \\
Rc_1 & Rc_2
\end{array}$$

 SO_2R_{17} , lower alkyl carbonyl, aryl carbonyl, loweralkyl aryl, or BLK_1 - AA_1 R_{17} is aryl, aryl lower alkyl or lower arylalkyl;

AA₁ is an amino acid or peptide less a hydrogen atom on the N-terminus and an OH on the C-terminal;

BLK₁ is an amino protecting group,

R₁₀ is OR₁₂, lower alkyl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

R₁₁ is OR₁₃, lower alkyl, aryl lower alkyl, lower cycloalkyl heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may optionally be connected by a bridging group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3;

R₁₂ and R₁₃ are independently lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, or lower cycloalkenyl lower alkyl;

ring A_1 and ring B are independently aromatic containing 6 to 14 ring carbon atoms or cycloalkenyl or cycloalkyl, each containing 5 to 14 ring carbon atoms;

 R_{b1} , R_{c1} , R_{b2} , R_{c2} are independently hydrogen, lower alkyl or electron donating group;

T is (CHR₃₁), O, S or NR₃₁; and R_{31} is hydrogen or lower alkyl.

33. In a process for forming an amide from the reaction of an organic amine and an acylating derivative of a carboxylic acid, the improvement comprising adding to said reaction an effective amount of a compound or salt containing a cation of the formula

$$R_1$$
 Y_1 Q_1 Q_1 Q_1 Q_2 Q_3 Q_4 Q_4

wherein

R₁ and R₂ taken together with the carbon atoms to which they are attached form an heteroaryl ring wherein said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing from 3 to 13 ring carbon atoms and 1-4 heteroatoms selected from O, S, and N, said heteroaryl ring may be unsubstituted or substituted with lower alkyl or electron donating group;

Y₁ is N or CR₁₅;

R₁₅ is H or lower alkyl;

Q₁ is N or CR₁₆;

R₁₆ is H or lower alkyl;

$$R_{14}$$
 is $R_{10} \longrightarrow P \longrightarrow O$ $R_{11} \longrightarrow R_{11} \longrightarrow$

hydrogen, a positively charged electron withdrawing group,

SO₂R₁₇, lower alkyl carbonyl, aryl carbonyl, loweralkyl aryl, or BLK₁-AA₁;

R₁₇ is aryl, aryl lower alkyl or lower alkyl;

AA₁ is an amino acid or peptide less a hydrogen atom on the N-terminus and an OH on the C-terminus;

BLK₁ is an amino protecting group,

 R_{10} is OR_{12} , lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, or lower cycloalkenyl lower alkyl;

 R_{11} is OR_{13} , lower alkyl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may optionally be connected by a bridging group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3; and

R₁₂ and R₁₃ are independently lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

ring A₁ and ring B are independently aromatic containing 6 to 14 ring carbon atoms and are cycloalkenyl or cycloalkyl each containing 5 to 14 ring carbon atoms;

 R_{b1} , R_{c1} , R_{b2} , R_{c2} are independently hydrogen, lower alkyl or electron donating group;

T is (CHR₃₁), O, S or NR₃₁; and R₃₁ is hydrogen or lower alkyl.

34. In the synthesis of peptides wherein a first N α -amino protected amino acid is covalently coupled to a solid phase synthesis resin, the N α -amino protecting group is cleaved off and the resulting free amino group is coupled via a peptide linkage to the carboxyl group of a second N α -amino protected amino acid and the cycle is repeated until the desired peptide is cleaved from said resin, the improvement comprising adding to the coupling step an effective amount of a compound or a salt containing a cation of the formula

$$R_1$$
 $Y_1 \gtrsim Q_1$
 R_2
 OR_{14}

wherein

R₁ and R₂ taken together with the carbon atoms to which they are attached form an heteroaryl ring wherein said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing from 3 to 13 ring carbon atoms and 1-4 heteroatoms selected from O, S, and N, said heteroaryl ring may be unsubstituted or substituted with lower alkyl or electron donating group;

 Y_1 is N or CR_{15} ;

R₁₅ is H or lower alkyl;

Q₁ is N or CR₁₆;

R₁₆ is H or lower alkyl;

R₁₄ is hydrogen, a positively charged electron withdrawing group,

$$R_{10} \longrightarrow P \longrightarrow O$$
 $R_{11} \longrightarrow R_{11} \longrightarrow R_$

 SO_2R_{17} , lower alkyl carbonyl, aryl carbonyl, aryl lower alkyl, or BLK_1 - AA_1 R_{17} is aryl, aryl lower alkyl or lower alkyl;

AA₁ is an amino acid or peptide less a hydrogen atom on the N-terminus and an OH on the C-terminus;

BLK₁ is an amino protecting group,

R₁₀ is OR₁₂, lower alkyl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, or lower cycloalkenyl lower alkyl;

R₁₁ is OR₁₃, lower alkyl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

and R₁₀ and R₁₁ may optionally be connected by a bridging group

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selected from the group consisting of O, S, NR₃₀, or (CHR₃₀)_m, wherein each R₃₀ is independently lower alkyl or hydrogen and m is 1-3; and

R₁₂ and R₁₃ are independently lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cyclalkenyl lower alkyl;

ring A₁ and ring B are independently aromatic containing 6 to 14 ring carbon atoms or cycloalkenyl or cycloalkyl each containing 5 to 14 ring carbon atoms; and

R_{b1}, R_{c1}, R_{b2}, R_{c2} are independently hydrogen, lower alkyl or electron donating group;

> T is (CHR₃₁), O, S or NR₃₁; and R_{31} is hydrogen or lower alkyl.

- 35. The process according to any one of claims 32-34 in which a dehydrating agent is additionally present.
- 36. The process according to Claim 35 wherein the dehydrating agent is DCC or EDC.
- 37. The process according to Claim 32 or 33 in which the acylating derivative of the carboxylic acid is

BLK-AA-M

wherein BLK is an amino protecting group

AA is an amino acid;

M is OH, halo or



Ra is independently halo, lower alkyl, hydrogen, or electron withdrawing group;

and b is 0-5.

38. The process according to Claim 37 wherein BLK is Fmoc, BOC, TEOC, Aoc, Adoc, Bpoc, Azoc, Ddz, Poc, Foc, Moz, Nps, Dts, Cbz, 151

Bspoc, Bsmoc, Nps, formyl, acetyl or trifluoracetyl.

- 39. The process according to Claim 38, wherein BLK is Cbz, Bspoc, or Bsmoc or BOC.
- 40. The process according to Claim 37, wherein the electron withdrawing group is nitro or cyano.
- 41. The process according to any one of Claims 32-34, wherein the electron donating group is lower alkyl amino, diloweralkylamino, amino, lower alkoxy, aryloxy, merchant, loweralkylthio or hydroxy.
- 42. The process according to Claim 41, wherein the electron donating group is diloweralkylamino or loweralkoxy.
- 43. The process according to Claim 42, wherein the electron donating group is OMe or Me₂N.
- 44. The process according to any one of Claims 32-34, wherein R_{14} is a positively charged electron withdrawing group of the formula

wherein

 R_{18} , R_{19} , R_{20} , R_{21} , R_{22} , R_{23} and R_{24} are independently hydrogen, lower alkyl, lower alkoxy lower alkyl or R_{18} and R_{19} taken together with the atoms to which they are attached form a ring containing up to 6 ring atoms and up to a total of 5 carbon ring atoms or R_{20} and R_{21} taken together with the nitrogen atom to which they are attached form a 5 or 6 membered nitrogen containing

heterocyclic ring containing up to a total of 5 carbon ring atoms or R_{18} and R_{20} taken together with the nitrogen atoms and the carbon atoms to which they are attached form a heterocyclic ring, or R_{22} and R_{23} taken together with the atoms to which they are attached form a ring containing up to 6 ring atoms and up to a total of 5 carbon atoms or R_{24} and R_{25} taken together with the carbon atoms to which they are attached form a ring containing up to 6 ring atoms and up to a total of 5 carbon atoms.

45. The process according to Claim 44 wherein R_{14} is

⊕ or P(NR₂₄R₂₅)₃

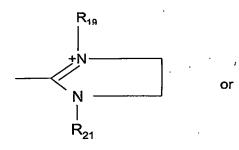
wherein R_{19} , R_{20} , and R_{21} , R_{24} and R_{25} are independently hydrogen, or lower alkyl or loweralkoxy lower alkyl and n_1 is 0 or 1.

46. The process according to Claim 45 wherein R_{19} and R_{21} or R_{24} and R_{25} are the same.

47. The process according to Claim 44 wherein R_{14} is

$$\begin{array}{c|c} & & & & \\ R_{23}R_{22}N & & & P & & NR_{24}R_{25} \\ & & & & \\ & & & NR_{20}R_{21} \end{array},$$

$$(R_{18}R_{19})_2$$
,
 $(R_{20})_2$



wherein R₁₈, R₁₉, R₂₀, R₂₁, R₂₂, R₂₃, R₂₄ and R₂₅ are independently hydrogen, methyl, ethyl, propyl, butyl, pentyl, or CH₂CH₂OCH₂CH₃.

48. The process according to Claim 47, wherein R_{23} , R_{22} , R_{20} , R_{21} , R_{24} , R_{25} are the same or R_{18} , R_{19} and R_{20} are the same or R_{19} and R_{21} are the same.

49. The process according to Claim 44 wherein R₁₄ is

⊕
-P-(NMe₂)₃, lower alkyl carbonyl, lower arylalkyl carbonyl, aryl carbonyl,

H wherein U is N, CH_2 or O.

50. The process according to any one of Claims 32-34 wherein $R_{\rm 14}$

is

$$\begin{array}{c} O \\ \parallel \\ -P - R_{10} \end{array} \quad \text{or} \quad \begin{array}{c} O \\ \parallel \\ Rb_1 \end{array} \quad \begin{array}{c} Rb_2 \\ Rc_2 \end{array}$$

51. The process according to Claim 50 wherein R_{10} is OR_{12} , lower alkyl, aryl, or aryl lower alkyl; R_{11} is OR_{13} , lower alkyl, aryl, or aryl lower alkyl and R_{10} and R_{11} may optionally be connected by a bridging group selected form

the group consisting of O, S, NH, and (CH₂)_m,

m is 1-3; and

R₁₂ and R₁₃ are independently lower alkyl, aryl, or aryl lower alkyl.

52. The process according to Claim 51 wherein

$$R_{14}$$
 is $P \longrightarrow OR_{12}$ wherein R_{12} and R_{11} are R_{11}

independently lower alkyl or aryl.

53. The process according to Claim 51 wherein R₃ is

$$R_{14}$$
 is $P \longrightarrow OR_{12}$ wherein R_{12} and R_{13} are OR_{13}

independently lower alkyl or aryl.

54. The process according to Claim 44 wherein the compound is a salt, the cation of which has the formula:

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55. The process according to any one of Claims 32-34 wherein the compound has the formula:

wherein R_b , R_{b1} , R_c , and R_{c1} are independently lower alkyl or hydrogen; and T is CH₂, NH, O or S.

:

56. A compound or salt, wherein the compound or the cation of the salt is of the formula

$$R_1$$
 Y_1
 Q_1
 N
 OR_{14}

wherein

R₁ and R₂ taken together with the carbon atoms to which they are attached form an heteroaryl ring wherein said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing from 3 to 13 ring carbon atoms and 1-4 heteroatoms selected from O, S, and N, said heteroaryl ring may be unsubstituted or substituted with lower alkyl or electron donating group;

 Y_1 is N or CR_{15} ;

R₁₅ is H or lower alkyl;

 Q_1 is N or CR_{16} ;

R₁₆ is H or lower alkyl;

R₁₄ is a positively charged electron withdrawing group,

$$R_{10} \longrightarrow P \longrightarrow O$$
 , Rb_2 Rc_2 Rc_2

SO₂R₁₇, lower alkyl carbonyl, aryl carbonyl, loweralkyl aryl, or BLK₁-AA₁ R₁₇ is aryl, aryl lower alkyl or lower alkyl;

AA₁ is an amino acid or peptide less a hydrogen atom on the N-terminus and an OH on the C-terminus;

BLK₁ is an amino protecting group,

 R_{10} is OR_{12} , lower alkyl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, or lower cycloalkenyl lower alkyl;

R₁₁ is OR₁₃, lower alkyl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may optionally be connected by a bridging group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3; and

 R_{12} and R_{13} are independently lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

ring A_1 and ring B are independently an aromatic ring containing 6 to 14 ring carbon atoms or cycloalkenyl or cycloalkyl, each containing 5 to 14 ring carbon atoms, and

 R_{b1} , R_{c1} , R_{b2} , R_{c2} are independently hydrogen, lower alkyl or electron donating group;

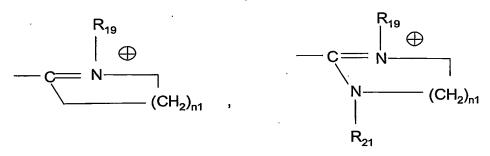
T is CHR₃₁, O, S or NR₃₀; and R_{31} is hydrogen or lower alkyl.

- 57. The salt according to Claim 56 wherein R_{14} is a positively charged electron withdrawing group.
- 58. The salt according to Claim 57 wherein R_{14} is an electron withdrawing group of the formula

wherein

R₁₈, R₁₉, R₂₀, R₂₁, R₂₂, R₂₃ and R₂₄ are independently hydrogen, lower alkyl, or lower alkoxy lower alkyl or R₁₈ and R₁₉ taken together with the atoms to which they are attached form a ring containing up to 6 ring atoms and up to a total of 5 carbon ring atoms or R₂₀ and R₂₁ taken together with the nitrogen atom to which they are attached form a 5 or 6 membered nitrogen containing heterocyclic ring containing up to a total of 5 carbon ring atoms or R₁₈ and R₂₀ taken together with the nitrogen atom and the carbon atom to which they are attached form a heterocyclic ring, or R₂₂ and R₂₃ taken together with the atoms to which they are attached form a ring containing up to 6 ring atoms and up to a total of 5 carbon atoms or R₂₄ and R₂₅ taken together with the carbon atoms to which they are attached form a ring containing up to 6 ring atoms and up to a total of 5 carbon atoms.

59. The salt according to Claim 58 wherein R₁₄ is



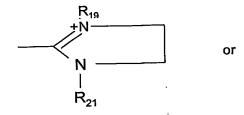
or P(NR₂₄R₂₅)₃

wherein R_{19} , R_{20} , and R_{21} , R_{24} and R_{25} are independently hydrogen, or lower alkyl or loweralkoxy lower alkyl and n_1 is 0 or 1.

- 60. The salt according to Claim 59 wherein R_{19} and R_{21} or R_{24} and R_{25} are the same
 - 61. The salt according to Claim 56 wherein R₁₄ is

$$\begin{array}{c|c} & \bigoplus \diagup \\ R_{23}R_{22}N - - P - - NR_{24}R_{25} \\ & | \\ & NR_{20}R_{21} \end{array}$$

$$-C=N(R_{18}R_{19})_2,$$
 $N(R_{20})_2$



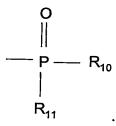
$$C=N(R_{18}R_{19}),$$
 R_{20}

wherein R_{18} , R_{19} , R_{20} , R_{21} , R_{22} , R_{23} , R_{24} and R_{25} are independently hydrogen, methyl, ethyl, propyl, butyl, pentyl, or $CH_2CH_2OCH_2CH_3$.

- 62. The salt according to Claim 61 wherein R_{23} , R_{22} , R_{20} , R_{21} , R_{24} , R_{25} are the same or R_{18} , R_{19} and R_{20} are the same or R_{19} and R_{21} are the same.
 - 63. The compound or salt according to Claim 56 wherein R_{14} is
- $^{\oplus}$ -P-(NMe₂)₃, lower alkyl carbonyl, lower arylalkyl carbonyl, aryl carbonyl,

H | wherein U is N, CH₂ or O.

64. The compound according to Claim 56 wherein R₁₄ is



65. The compound according to Claim 64 wherein R_{10} is OR_{12} , lower alkyl, aryl, or aryl lower alkyl; R_{11} is OR_{13} , lower alkyl, aryl; or aryl lower alkyl and R_{10} and R_{11} may optionally be connected by a bridging group selected form the group consisting of O, S, NH, and $(CH_2)_m$; m is 1-3; and

 R_{12} and R_{13} are independently lower alkyl, aryl, or aryl lower alkyl.

66. The compound according to Claim 56 wherein

$$R_{14}$$
 is $P \longrightarrow R_{10}$ wherein R_{10} and R_{11} are R_{11}

independently lower alkyl or aryl.

67. The compound according to Claim 56 wherein

$$R_{14}$$
 is $P \longrightarrow OR_{12}$ wherein R_{12} and R_{13} are R_{13}

independently lower alkyl or aryl.

68. The compound or salt according to Claim 56 wherein the compound or the cation of the salt has the formula

$$R_1$$
 Q_1
 Q_1
 Q_2
 Q_3
 Q_4
 Q_4

69. The compound or salt according to Claim 56 wherein the compound or the cation of the salt has the formula

$$R_1$$
 CH
 Q_1
 N
 OR_{14}

70. The compound according to Claim 56 wherein the compound or the cation of the salt has the formula

$$D \xrightarrow{A} Y_1 \geqslant Q_1$$

$$E \xrightarrow{B} O R_{14}$$

wherein

A is N or CR24;

D is CR₂₅ or N;

E is CR₂₆ or N;

G is CR₂₇ or N;

 R_{24} , R_{25} , R_{26} and R_{27} are independently hydrogen or lower alkyl or electron donating group or R_{25} and R_{26} or R_{24} and R_{25} or R_{26} and R_{27} taken together with the carbon atoms to which they are respectively attached from an aryl ring;

wherein at least one of A, D, E G, is N;

Y₁ is N or CR₁₅;

R₁₅ is H or lower alkyl;

 Q_1 is N or CR_{16} ;

R₁₆ is H or lower alkyl;

R₁₄ is a positively charged electron withdrawing group,

$$R_{10} \longrightarrow P \longrightarrow O$$
 $R_{11} \longrightarrow R_{11} \longrightarrow R_$

 SO_2R_{17} , lower alkyl carbonyl, aryl carbonyl, loweralkyl aryl, or BLK_1 - AA_1 R_{17} is aryl, aryl lower alkyl or lower alkyl;

AA₁ is an amino acid or peptide less a hydrogen atom on the N-terminus and an OH on the C-terminus;

BLK₁ is an amino protecting group,

R₁₀ is OR₁₂, lower alkyl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, or lower cycloalkenyl lower alkyl;

R₁₁ is OR₁₃, lower alkyl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may optionally be connected by a bridging group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3; and

R₁₂ and R₁₃ are independently lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

ring A_1 and ring B are independently an aromatic ring containing 6 to 14 ring carbon atoms or cycloalkenyl or cycloalkyl, each containing 5 to 14 ring carbon atoms, and

 R_{b1} , R_{c1} , R_{b2} , R_{c2} are independently hydrogen, lower alkyl or electron donating group;

T is (CHR₃₁), O, S or NR₃₁; and

R₃₁ is hydrogen or lower alkyl.

71. A compound or salt wherein the compound or the cation of the salt has the formula:

wherein

D is CR₂₅ or N;

E is CR₂₆ or N;

J is NR₂₈, O, CR₂₈R₂₉ or S(O)p;

 R_{25} and R_{26} are independently hydrogen or lower alkyl or an electron donating group or R_{25} and R_{26} taken together with the carbon atoms to which attached form an aryl ring;

R₂₈ is hydrogen or lower alkyl or an electron donating group;

R₂₉ is hydrogen or lower alkyl;

p is 0, 1 or 2;

Y₁ is N or CR₁₅;

R₁₅ is H or lower alkyl;

Q₁ is N or CR₁₆;

R₁₆ is H or lower alkyl;

R₁₄ is hydrogen, a positively charged electron withdrawing group,

$$R_{10} \longrightarrow P \longrightarrow 0$$
 , $R_{11} \longrightarrow R_{11} \longrightarrow$

 SO_2R_{17} , lower alkyl carbonyl, aryl carbonyl, loweralkyl aryl, or BLK_1 - AA_1 R_{17} is aryl, aryl lower alkyl or lower alkyl;

AA₁ is an amino acid or peptide less a hydrogen atom on the N-terminus and an OH on the C-terminus;

BLK₁ is an amino protecting group,

 R_{10} is OR_{12} , lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, or lower cycloalkenyl lower alkyl;

R₁₁ is OR₁₃, lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may optionally be connected by a bridging group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3; and

 R_{12} and R_{13} are independently lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

ring A_1 and ring B are independently aromatic containing 6 to 14 ring carbon atoms or cycloalkenyl or cycloalkyl, each containing 5 to 14 ring carbon atoms, and

 R_{b1} , R_{c1} , R_{b2} , R_{c2} are independently hydrogen, lower alkyl or electron donating group;

T is (CHR₃₁), O, S or NR₃₁; and R₃₁ is hydrogen or lower alkyl.

72. The compound or salt according to Claim 70 where the compound or the cation has the formula

wherein

A is N or CR24;

D is CR₂₅ or N;

E is CR₂₆ or N;

G is CR₂₇ or N;

 R_{24} , R_{25} , R_{26} and R_{27} are independently hydrogen or lower alkyl; wherein at least one of A, D, E G, is N;

Y₁ is N or CR₁₅;

R₁₅ is H or lower alkyl;

Q₁ is N or CR₁₆;

R₁₆ is H or lower alkyl;

R₁₄ is a positively charged electron withdrawing group,

$$R_{10}$$
 $P = 0$ Rb_2 Rb_1 Rc_2 Rc_1

 SO_2R_{17} , lower alkyl carbonyl, aryl carbonyl, loweralkyl aryl, or BLK_1 - AA_1

 R_{17} is aryl, aryl lower alkyl or lower alkyl;

AA₁ is an amino acid or peptide less a hydrogen atom on the N-terminus and an OH on the C-terminus;

BLK₁ is an amino protecting group,

R₁₀ is OR₁₂, lower alkyl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, or lower cycloalkenyl lower alkyl;

R₁₁ is OR₁₃, lower alkyl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may optionally be connected by a bridging group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3; and

 R_{12} and R_{13} are independently lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl or lower cyclalkenyl lower alkyl;

ring A_1 and ring B are independently aromatic containing 6 to 14 ring carbon atoms or cycloalkenyl or cycloalkyl, each containing 5 to 14 ring carbon atoms, and

 R_{b1} , R_{c1} , R_{b2} , R_{c2} are independently hydrogen, lower alkyl or electron donating group;

T is (CHR $_{31}$), O, S or NR $_{31}$; and R $_{31}$ is hydrogen or lower alkyl.

73. The compound according to Claim 72 wherein R₁₄ is

$$R_{11}$$
 P R_{10} or R_{10} $R_{$

wherein R_{10} and R_{11} , R_{b1} , R_{b2} , R_{c1} , R_{c2} are independently hydrogen or lower alkyl and T is O, CH₂, NH or S and ring A₁ and ring B are independently an aromatic ring.

74. The compound according to Claim 56 wherein

$$R_{14}$$
 is P OR_{12} or Rb_1 Rc_2 Rc_1

wherein R_{12} , R_{13} , Rb_1 , Rb_2 , Rc_1 and Rc_2 are independently hydrogen or lower alkyl;

ring A_1 and ring B are independently phenyl; and T is CH_2 , O, S or NH.

75. The compound according to Claim 56 wherein the compound is a salt, the cation of which has the formula

76. The compound according to Claim 56 wherein the compound has the formula

wherein R_b , R_{b1} , R_c , R_{c1} are independently lower alkyl or hydrogen and T is CH_2 , NH, O or S.

77. In a process for preparing a peptide bond from the reaction

between an amino compound and an acylating derivative of a carboxylic acid, said amino compound being an amino acid or peptide and said carboxylic acid being an N-terminal amino protected amino acid or an N-terminal amino protected peptide, the improvement comprising adding to said reaction an effective amount of a compound of the formula or a salt, the cation of which has the formula:

$$R_1$$
 $Y_1 \geq Q_1$
 N
 OR_{14}

wherein

ŧ

R₁ and R₂ taken together with the carbon atoms to which they are attached form an aryl ring or heteroaryl ring wherein said aryl ring is an aromatic ring containing 6 to 14 ring carbon atoms and said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing from 3 to 13 ring carbon atoms and 1-4 heteroatoms selected from O, S and N, said aryl and heteroaryl ring may be unsubstituted or substituted with lower alkyl or electron donating group;

 Y_1 is N or CR_{15} ;

R₁₅ is H or lower alkyl;

Q₁ is N or CR₁₆;

R₁₆ is H or lower alkyl;

R₁₄ is hydrogen, a positively charged electron withdrawing group,

$$R_{10} \longrightarrow P \longrightarrow 0$$
 R_{11}
 R_{11}

or SO_2R_{17} ,

R₁₇ is aryl, aryl lower alkyl or lower arylalkyl;

R₁₀ is OR₁₂, lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

 R_{11} is lower alkyl, aryl lower alkyl, lower cycloalkyl heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may optionally be connected by a bridging group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3;

 R_{12} is lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, or lower cycloalkenyl lower alkyl;

ring A_1 and ring B are independently aromatic containing 6 to 14 ring carbon atoms or cycloalkenyl or cycloalkyl, each containing 5 to 14 ring carbon atoms;

R_{b1}, R_{c1}, R_{b2}, R_{c2} are independently hydrogen, lower alkyl or

electron donating group;

T is CHR₃₁, O, S or NR₃₁; and R_{31} is hydrogen or lower alkyl.

- 78. The process according to Claim 77 in which a dehydrating agent is additionally present.
- 79. The process according to Claim 78 wherein the dehydrating agent is DCC or EDC.
- $\,$ 80. The process according to Claim 77 wherein R_1 and R_2 taken together is an aromatic ring.
- 81. The process according to Claim 80 wherein R_{14} is a positively charged electron withdrawing group of the formula

wherein

 R_{18} , R_{19} , R_{20} , R_{21} , R_{22} , R_{23} and R_{24} are independently hydrogen, lower alkyl, lower alkoxy lower alkyl or R_{18} and R_{19} taken together with the atoms to which they are attached form a ring containing up to 6 ring atoms and up to a total of 5 carbon ring atoms or R_{20} and R_{21} taken together with the nitrogen atom to which they are attached form a 5 or 6 membered nitrogen containing heterocyclic ring containing up to a total of 5 carbon ring atoms or R_{18} and R_{20} taken together with the nitrogen atoms and the carbon atoms to which they are attached form a heterocyclic ring, or R_{22} and R_{23} taken together with the atoms to which they are attached form a ring containing up to 6 ring atoms and up to a total of 5 carbon atoms or R_{24} and R_{25} taken together with the carbon atoms to which they are attached form a ring containing up to 6 ring atoms and up to a total of 5 carbon atoms or R_{24} and R_{25} taken together with the carbon atoms to which they are attached form a ring containing up to 6 ring atoms and up to a total of 5 carbon atoms.

82. The process according to Claim 81 wherein R₁₄ is

$$-C = N - (CH_2)_{n1}, \qquad -C = N - (CH_2)_{n1}$$

⊕/ or P(NR₂₄R₂₅)₃

wherein R_{19} , R_{20} , and R_{21} , R_{24} and R_{25} are independently hydrogen, or lower alkyl or loweralkoxy lower alkyl and n_1 is 0 or 1.

83. The process according to Claim 82 wherein R_{14} is

$$R_{23}R_{22}N - P - NR_{24}R_{25}$$

$$| NR_{20}R_{21}$$

$$\begin{array}{c} \overset{\oplus}{-C=N(R_{18}R_{19})_2},\\ \\ N(R_{20})_2 \\ \\ &\overset{R_{19}}{+N} \\ \\ N \\ \\ R_{21} \\ \\ -C=N(R_{18}R_{19}),\\ \\ \\ R_{20} \\ \end{array} \quad \text{or} \quad$$

wherein R₁₈, R₁₉, R₂₀, R₂₁, R₂₂, R₂₃, R₂₄ and R₂₅ are independently hydrogen, methyl, ethyl, propyl, butyl, pentyl, or CH₂CH₂OCH₂CH₃.

84. The process according to Claim 83 wherein R₁₄ is

-P-(NMe₂)₃, lower alkyl carbonyl, lower arylalkyl carbonyl, aryl carbonyl,

85. The process according to Claim 77 wherein R_{14} is

$$\begin{array}{c} O \\ \parallel \\ -P - R_{10} \end{array} \quad \text{or} \quad \begin{array}{c} O \\ \parallel \\ Rb_1 \end{array} \quad \begin{array}{c} Rb_2 \\ Rc_2 \end{array},$$

86. The process according to Claim 85 wherein R_{10} is OR_{12} , lower alkyl or aryl lower alkyl; R_{11} is lower alkyl, aryl or aryl lower alkyl and R_{10} and

 R_{11} may be optionally be connected by a bridging group selected from the group consisting of O, S, NH and $(CH_2)_m$;

m is 1-3; and

R₁₂ is lower alkyl, aryl or aryl lower alkyl.

87. The process according to Claim 86 wherein

$$R_{14}$$
 is $P \longrightarrow OR_{12}$ wherein R_{12} and R_{11} are R_{11}

independently lower alkyl or aryl.

88. The process according to Claim 77 wherein the compound is a salt, the cation of which has the formula

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89. In a process for forming an amide from the reaction of an organic amine and an acylating derivative of a carboxylic acid, the improvement comprising adding to said reaction an effective amount of a compound or salt containing a cation of the formula

$$R_1$$
 $Y_1 \geq Q_1$
 R_2
 OR_{14}

wherein

R₁ and R₂ taken together with the carbon atoms to which they are attached form an aryl ring or heteroaryl ring wherein said aryl ring is an aromatic ring containing 6 to 14 ring carbon atoms and said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing from 3 to 13 ring carbon atoms and 1-4 heteroatoms selected from O, S and N, said aryl or heteroaryl ring may be unsubstituted or substituted with lower alkyl or electron donating group;

 Y_1 is N or CR_{15} ;

R₁₅ is H or lower alkyl;

 Q_1 is N or CR_{16} ;

R₁₆ is H or lower alkyl;

R₁₄ is hydrogen, a positively charged electron withdrawing group,

$$R_{10}$$
 $P=0$, R_{11} $R_$

or SO₂R₁₇,

R₁₇ is aryl, aryl lower alkyl or lower arylalkyl;

R₁₀ is OR₁₂, lower alkyl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

R₁₁ is lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may optionally be connected by a bridging group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3;

 R_{12} is lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, or lower cycloalkenyl lower alkyl;

ring A_1 and ring B are independently aromatic containing 6 to 14 ring carbon atoms or cycloalkenyl or cycloalkyl, each containing 5 to 14 ring carbon atoms;

 $R_{b1},\,R_{c1},\,R_{b2},\,R_{c2}$ are independently hydrogen, lower alkyl or electron donating group;

T is CHR₃₁, O, S or NR₃₁; and R_{31} is hydrogen or lower alkyl.

- 90. The improved process according to Claim 89 wherein R_1 and R_2 taken together with the carbon atoms to which they are attached form an aryl group.
- 91. The improved process according to Claim 89 wherein R_1 and R_2 taken together with the carbon atom to which they are attached form a phenyl group.
- 92. In the synthesis of peptides wherein a first $N\alpha$ -amino protected amino acid is covalently coupled to a solid phase synthesis resin, the $N\alpha$ -amino protecting group is cleaved off and the resulting free amino group is coupled via a peptide linkage to the carboxyl group of a second $N\alpha$ -amino protected amino acid and the cycle is repeated until the desired peptide is cleaved from said resin, the improvement comprising adding to the coupling step an effective amount of a compound or a salt containing a cation of the formula

$$R_1$$
 $Y_1 \geq Q_1$
 R_2
 OR_{14}

wherein

R₁ and R₂ taken together with the carbon atoms to which they are attached form an aryl ring or heteroaryl ring wherein said aryl ring is an aromatic ring containing 6 to 14 ring carbon atoms and said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing from 3 to 13 ring carbon atoms and 1-4 heteroatoms selected from O, S and N, said aryl and heteroaryl ring may be unsubstituted or substituted with lower alkyl or electron donating group;

Y₁ is N or CR₁₅;

R₁₅ is H or lower alkyl;

Q₁ is N or CR₁₆;

R₁₆ is H or lower alkyl;

R₁₄ is hydrogen, a positively charged electron withdrawing group,

or SO_2R_{17} ,

R₁₇ is aryl, aryl lower alkyl or lower arylalkyl;

R₁₀ is OR₁₂, lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

R₁₁ is lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may optionally be connected by a bridging group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3;

 R_{12} is lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, or lower cycloalkenyl lower alkyl;

ring A_1 and ring B are independently aromatic containing 6 to 14 ring carbon atoms or cycloalkenyl or cycloalkyl, each containing 5 to 14 ring carbon atoms;

 R_{b1} , R_{c1} , R_{b2} , R_{c2} are independently hydrogen, lower alkyl or electron donating group;

T is CHR₃₁, O, S or NR₃₁; and R₃₁ is hydrogen or lower alkyl.

- 93. The improved process according to Claim 92 wherein R_1 and R_2 taken together with the carbon atoms to which they are attached form an aryl group.
- 94. The improved process according to Claim 93 wherein R_1 and R_2 taken together with the carbon atoms to which they are attached form a phenyl group.
- 95. A compound or salt, wherein the compound or the cation of the salt has the formula

$$R_1$$
 $Y_1 \gtrsim Q_1$
 N
 OR_{14}

wherein

R₁ and R₂ taken together with the carbon atoms to which they are attached form an aryl ring or heteroaryl ring wherein said aryl ring is an aromatic ring containing 6 to 14 ring carbon atoms and said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing from 3 to 13 ring carbon atoms and 1-4 heteroatoms selected from O, S and N, said aryl and heteroaryl ring may be unsubstituted or substituted with lower alkyl or electron donating group;

 Y_1 is N or CR_{15} ;

R₁₅ is H or lower alkyl;

Q₁ is N or CR₁₆;

R₁₆ is H or lower alkyl;

R₁₄ is a positively charged electron withdrawing group,

$$R_{10} \longrightarrow P \longrightarrow 0$$
 R_{11}
 $R_$

or SO_2R_{17} ,

R₁₇ is aryl, aryl lower alkyl or lower arylalkyl;

R₁₀ is OR₁₂, lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

R₁₁ is lower alkyl, aryl lower alkyl, lower cycloalkyl heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may optionally be connected by a bridging group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3;

 R_{12} is lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, or lower cycloalkenyl lower alkyl;

ring A_1 and ring B are independently aromatic containing 6 to 14 ring carbon atoms or cycloalkenyl or cycloalkyl, each containing 5 to 14 ring carbon atoms;

 $R_{b1},\,R_{c1},\,R_{b2},\,R_{c2} \mbox{ are independently hydrogen, lower alkyl or}$ electron donating group;

T is CHR₃₁, O, S or NR₃₁; and R₃₁ is hydrogen or lower alkyl.

- 96. The compound or salt according to Claim 95 wherein R_1 and R_2 taken together in aryl.
- 97. The compound or salt according to Claim 96 wherein R_1 and R_2 taken together is phenyl.
- 98. The salt according to Claim 96 wherein R_{14} is a positively charged electron withdrawing group.

99. The salt according to Claim 98 wherein R_{14} is an electron withdrawing group of the formula

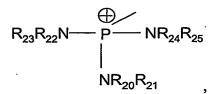
wherein

R₁₈, R₁₉, R₂₀, R₂₁, R₂₂, R₂₃ and R₂₄ are independently hydrogen, lower alkyl, or lower alkoxy lower alkyl or R₁₈ and R₁₉ taken together with the atoms to which they are attached form a ring containing up to 6 ring atoms and up to a total of 5 carbon ring atoms or R₂₀ and R₂₁ taken together with the nitrogen atom to which they are attached form a 5 or 6 membered nitrogen containing heterocyclic ring containing up to a total of 5 carbon ring atoms or R₁₈ and R₂₀ taken together with the nitrogen atom and the carbon atom to which they are attached form a heterocyclic ring, or R₂₂ and R₂₃ taken together with the atoms to which they are attached form a ring containing up to 6 ring atoms and up to a total of 5 carbon atoms or R₂₄ and R₂₅ taken together with the carbon atoms to which they are attached form a ring containing up to 6 ring atoms and up to a total of 5 carbon atoms or R₂₄ and R₂₅ taken together with the carbon atoms to which they are attached form a ring containing up to 6 ring atoms and up to a total of 5 carbon atoms.

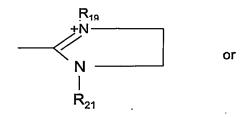
100. The salt according to Claim 99 wherein R₁₄ is

wherein R_{19} , R_{20} , and R_{21} , R_{24} and R_{25} are independently hydrogen, or lower alkyl or loweralkoxy lower alkyl and n_1 is 0 or 1.

101. The salt according to Claim 100 wherein R₁₄ is



$$\begin{array}{c}
\oplus \\
-C=N(R_{18}R_{19})_{2},\\
\setminus \\
N(R_{20})_{2}
\end{array}$$



$$C=N(R_{18}R_{19}),$$
 R_{20}

wherein R_{18} , R_{19} , R_{20} , R_{21} , R_{22} , R_{23} , R_{24} and R_{25} are independently hydrogen, methyl, ethyl, propyl, butyl, pentyl, or $CH_2CH_2OCH_2CH_3$.

102. The compound or salt according to claim 96 wherein R₁₄ is

P-(NMe₂)₃, lower alkyl carbonyl, lower arylalkyl carbonyl, aryl carbonyl,

$$-C = NMe_{2}$$
 \setminus
 NMe_{2}

103. The compound according to Claim 96 wherein R₁₄ is

$$\begin{array}{c} O \\ \parallel \\ -P - R_{10} \end{array} \quad \text{or} \quad \begin{array}{c} O \\ \parallel \\ Rb_1 \end{array} \quad \begin{array}{c} Rb_2 \\ Rc_2 \end{array}$$

104. The compound according to Claim 96 wherein R_{10} is OR_{12} , lower alkyl, aryl or aryl lower alkyl; R_{11} is lower alkyl, aryl or aryl lower alkyl and R_{10} and R_{11} may optionally be connected by a bridging group selected from

the group consisting of O, S, NH and (CH₂)_m;

m is 1-3; and

R₁₂ is loweralkyl, aryl or aryl lower alkyl.

105. The compound according to Claim 103 wherein R_{14} is

106. The compound according to Claim 104 wherein R₁₄ is

107. The compound or salt according to Claim 77 wherein the compound or the cation of the salt has the formula

$$R_1$$
 Q_1 Q_1

108. The compound or salt according to Claim 107 of the formula

wherein T is CH2, NH, O or S.

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109. A compound of the formula or a salt, the cation of which has the formula

or N-oxide or salt thereof

wherein one of Y₁ and Q is CR₁₅ and the other is N or CH;

 Y_1 is H or lower alkyl;

R₁ and R₂ taken together with the carbon atom to which they are attached form an aryl or heteroaryl ring, wherein said aryl ring is an aromatic ring containing 6-14 ring carbon atoms and said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing 3 to 13 ring carbon atoms and 1-4 heteroatoms selected from O, S and N, said aryl and heteroaryl ring may be unsubstituted or substituted with lower alkyl or electron donating group;

R₁₄ is hydrogen, a positively charged electron withdrawing group,

$$Rb_1$$
 Rc_2
 Rc_2

 SO_2R_{17} , lower alkyl carbonyl, aryl carbonyl, loweralkyl aryl, or BLK_1 - AA_1 R_{17} is aryl, aryl lower alkyl or lower arylalkyl;

AA₁ is an amino acid or peptide less a hydrogen atom on the N-terminus and an OH on the C-terminal;

BLK₁ is an amino protecting group,

R₁₀ is OR₁₂, lower alkyl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic lower alkyl, lower cycloalkenyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

R₁₁ is OR₁₃, lower alkyl, aryl lower alkyl, lower cycloalkyl heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may optionally be connected by a bridging group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3;

 R_{12} and R_{13} are independently lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, or lower cyclalkenyl lower alkyl;

ring A_1 and ring B are independently aromatic containing 6 to 14 ring carbon atoms or cycloalkenyl or cycloalkyl, each containing 5 to 14 ring carbon atoms;

 R_{b1} , R_{c1} , R_{b2} , R_{c2} are independently hydrogen, lower alkyl or electron donating group;

T is CHR31, O, S or NR31; and

R₃₁ is hydrogen or lower alkyl.

110. The compound or salt of Claim 109 wherein R_1 and R_2 taken together with the carbon atoms to which they are attached form an aryl ring.

- 111. The compound or salt of Claim 109 wherein R_1 and R_2 taken together with the carbon atom to which they are attached form a heteroaryl ring.
- 112. The salt of Claim 109 wherein R₁₄ is a positively charged electron withdrawing group.
- 113. The salt of Claim 112 where the positively charged electron withdrawing group has the formula

wherein

 R_{18} , R_{19} , R_{20} , R_{21} , R_{22} , R_{23} and R_{24} are independently hydrogen, lower alkyl, lower alkoxy lower alkyl or R_{18} and R_{19} taken together with the atoms to which they are attached form a ring containing up to 6 ring atoms and up to a total of 5 carbon ring atoms or R_{20} and R_{21} taken together with the nitrogen atom to which they are attached form a 5 or 6 membered nitrogen containing heterocyclic ring containing up to a total of 5 carbon ring atoms or R_{18} and R_{20} taken together with the nitrogen atoms and the carbon atoms to which they are attached form a heterocyclic ring, or R_{22} and R_{23} taken together with the atoms to which they are attached form a ring containing up to 6 ring atoms and up to a total of 5 carbon atoms or R_{24} and R_{25} taken together with the carbon atoms to which they are attached form a ring containing up to 6 ring atoms and up to a total of 5 carbon atoms.

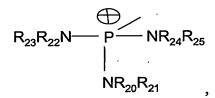
114. The salt of Claim 113 wherein R₁₄ is

$$- \stackrel{R_{19}}{\longleftarrow} \stackrel{(CH_2)_{n1}}{\longleftarrow} \stackrel{(CH_2)_{n1}}{\longleftarrow} \stackrel{R_{19}}{\longleftarrow} \stackrel{(CH_2)_{n1}}{\longleftarrow} \stackrel{(CH_2)_{n1}}{\longleftarrow}$$

\⊕ or P(NR₂₄R₂₅)₃

wherein R_{19} , R_{20} , and R_{21} , R_{24} and R_{25} are independently hydrogen, or lower alkyl or loweralkoxy lower alkyl and n_1 is 0 or 1.

115. The salt of Claim 114 wherein R_{14} is



$$R_{19}$$
 or R_{21}

 $-C=N(R_{18}R_{19}),$

\ R₂₀

wherein R₁₈, R₁₉, R₂₀, R₂₁, R₂₂, R₂₃, R₂₄ and R₂₅ are independently hydrogen, methyl, ethyl, propyl, butyl, pentyl, or CH₂CH₂OCH₂CH₃.

116. The salt of claim 114 wherein R_{14} is

⊕
-P-(NMe₂)₃, lower alkyl carbonyl, lower arylalkyl carbonyl, aryl carbonyl,

$$\begin{array}{c} \oplus \\ -C = NMe_2, \\ \\ NMe_2 \end{array}$$

$$\begin{array}{c} Me \\ + \\ N \\ \\ N \\ \\ Me \end{array}$$

$$\begin{array}{c} + \\ \\ -P \\ \\ \end{array}$$

$$\begin{array}{c} + \\ \\ \\ \end{array}$$

$$C=N$$
 or $C=N$ U

H | wherein U is N, CH_2 or O.

117. The compound according to Claim 109 wherein R_{14}

$$\begin{array}{c} O \\ \parallel \\ -P - R_{10} \end{array} \quad \text{or} \quad \begin{array}{c} O \\ \parallel \\ Rb_1 \end{array} \quad \begin{array}{c} Rb_2 \\ Rc_2 \end{array}$$

118. The compound according to Claim 117 wherein R_{10} is OR_{12} , lower alkyl, aryl, or aryl lower alkyl; R_{11} is OR_{13} , lower alkyl, aryl, or aryl lower alkyl and R_{10} and R_{11} may optionally be connected by a bridging group selected form the group consisting of O, S, NH, and $(CH_2)_m$,

m is 1-3; and

 R_{12} and R_{13} are independently lower alkyl, aryl, or aryl lower alkyl.

- 119. The compound according to Claim 118 wherein R_{12} and R_{11} are independently lower alkyl or aryl.
 - 120. The compound according to Claim 118 wherein R_{14} is

, wherein R_{12} and R_{11} are independently lower alkyl or aryl.

121. The compound according to Claim 118 wherein R_{14} is

wherein R_{12} and R_{13} are independently lower alkyl or aryl.

122. The compound according to Claim 109 wherein the compound has the formula or cation of the salt has the formula

$$\begin{array}{c|c}
D & A & Y & Q_1 \\
\downarrow & Q_1 & \downarrow \\
E & G & N & OR_{14}
\end{array}$$

wherein

A is N or CR24;

D is N or CR₂₅;

E is N or CR₂₆;

G is N or CR₂₇;

 R_{24} , R_{25} , R_{26} and R_{27} are independently hydrogen or lower alkyl or electron donating group, or R_{25} and R_{26} or R_{24} and R_{25} or R_{26} and R_{27} taken together with the carbon atom to which they are respectively attached form an aryl ring.

123. The compound according to Claim 109 wherein the compound or cation of the salt has the formula

$$D \xrightarrow{Y} Q_1$$

$$E \xrightarrow{I} O R_{14}$$

wherein

D is CR₂₅ or N;

E is CR₂₆ or N;

J is NR₂₈, O; CR₂₈R₂₉ or S(O)p:

 R_{25} and R_{26} are independently hydrogen or lower alkyl or an electron donating group or R_{25} and R_{26} taken together with the carbon atoms to which attached form an aryl ring;

R₂₈ is hydrogen or lower alkyl or an electron donating group; R₂₉ is hydrogen or lower alkyl; and p is 0, 1 or 2.

124. In a process for preparing a peptide bond from the reaction between an amino compound and an acylating derivative of a carboxylic acid, said amino compound being an amino acid or peptide and said carboxylic acid being an N-terminal amino protected amino acid or N-terminal amino protected peptide, the improvement comprising adding to said reaction an effective amount of a compound having the formula or a salt the cation of which has the formula

or N-oxide or salt thereof wherein one of Y_1 and Q is CR_{15} and the other is N or CH; Y_1 is H or lower alkyl;

R₁ and R₂ taken together with the carbon atom to which they are attached form an aryl or heteroaryl ring wherein said aryl ring is an aromatic ring containing 6-14 ring carbon atoms and said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing 3 to 13 ring carbon atoms and 1-4 heteroatoms selected from O, S and N, said aryl and heteroaryl ring may be unsubstituted or substituted with lower alkyl or electron donating group;

R₁₄ is hydrogen, a positively charged electron withdrawing group,

$$Rb_1$$
 Rc_2
 Rc_1

 SO_2R_{17} , lower alkyl carbonyl, aryl carbonyl, loweralkyl aryl, or BLK_1 - AA_1 R_{17} is aryl, aryl lower alkyl or lower arylalkyl;

AA₁ is an amino acid or peptide less a hydrogen atom on the N-terminus and an OH on the C-terminal;

BLK₁ is an amino protecting group,

R₁₀ is OR₁₂, lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

R₁₁ is OR₁₃, lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may optionally be connected by a bridging group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3;

 R_{12} and R_{13} are independently lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, or lower cycloalkenyl lower alkyl;

ring A_1 and ring B are independently aromatic containing 6 to 14 ring carbon atoms or cycloalkenyl or cycloalkyl, each containing 5 to 14 ring carbon atoms;

 R_{b1} , R_{c1} , R_{b2} , R_{c2} are independently hydrogen, lower alkyl or electron donating group;

T is CHR₃₁, O, S or NR₃₁; and R₃₁ is hydrogen or lower alkyl.

125. In a process for forming an amide from the reaction of an organic amine and an acylating derivative of a carboxylic acid, the improvement comprising adding to said reaction an effective amount of a compound or salt containing a cation of the formula

or N-oxide or salt thereof

wherein one of Y₁ and Q is CR₁₅ and the other is N or CH;

Y₁ is H or lower alkyl;

R₁ and R₂ taken together with the carbon atom to which they are attached form an aryl or heteroaryl ring wherein said aryl ring is an aromatic ring containing 6-14 ring carbon atoms and said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing 3 to 13 ring carbon atoms and 1-4 heteroatoms selected from O, S and N, said aryl and heteroaryl ring may be unsubstituted or substituted with lower alkyl or electron donating group;

R₁₄ is hydrogen, a positively charged electron withdrawing group,

$$Rb_1$$
 Rc_2
 Rc_1

 SO_2R_{17} , lower alkyl carbonyl, aryl carbonyl, loweralkyl aryl, or BLK_1 - AA_1 R_{17} is aryl, aryl lower alkyl or lower arylalkyl;

AA1 is an amino acid or peptide less a hydrogen atom on the N-

terminus and an OH on the C-terminal;

BLK₁ is an amino protecting group,

R₁₀ is OR₁₂, lower alkyl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

R₁₁ is OR₁₃, lower alkyl, aryl, aryl lower alkyl, lower cycloalkyl heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may optionally be connected by a bridging group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3;

R₁₂ and R₁₃ are independently lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, or lower cyclalkenyl lower alkyl;

ring A_1 and ring B are independently aromatic containing 6 to 14 ring carbon atoms or cycloalkenyl or cycloalkyl, each containing 5 to 14 ring carbon atoms;

 $R_{b1},\,R_{c1},\,R_{b2},\,R_{c2} \mbox{ are independently hydrogen, lower alkyl or}$ electron donating group;

T is CHR₃₁, O, S or NR₃₁; and R₃₁ is hydrogen or lower alkyl.

126. In the synthesis of peptides wherein a first N α -amino protected amino acid is covalently coupled to a solid phase synthesis resin, the N α -amino protecting group is cleaved off and the resulting free amino group is coupled via a peptide linkage to the carboxyl group of a second N α -amino protected amino acid and the cycle is repeated until the desired peptide is cleaved from said resin, the improvement comprising adding to the coupling step an effective amount of a compound or a salt containing a cation of the formula

$$R_1$$
 $Y_1 \geq Q$
 R_2
 O
 OR_{14}

or N-oxide or salt thereof

wherein one of Y₁ and Q is CR₁₅ and the other is N or CH;

Y₁ is H or lower alkyl;

R₁ and R₂ taken together with the carbon atom to which they are attached form an aryl or heteroaryl ring wherein said aryl ring is an aromatic ring containing 6-14 ring carbon atoms and said heteroaryl ring is an oxygen, sulfur or nitrogen heteroaromatic containing 3 to 13 ring carbon atoms and 1-4 heteroatoms selected from O, S and N, said aryl and heteroaryl ring may be unsubstituted or substituted with lower alkyl or electron donating group;

R₁₄ is hydrogen, a positively charged electron withdrawing group,

$$\begin{array}{c|c}
O & Rb_2 \\
Rb_1 & T & Rc_2 \\
Rc_1 & Rc_2
\end{array}$$

SO₂R₁₇, lower alkyl carbonyl, aryl carbonyl, loweralkyl aryl, or BLK₁-AA₁

R₁₇ is aryl, aryl lower alkyl or lower arylalkyl;

AA₁ is an amino acid or peptide less a hydrogen atom on the N-terminus and an OH on the C-terminal;

BLK₁ is an amino protecting group,

R₁₀ is OR₁₂, lower alkyl, aryl lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, lower cycloalkenyl or lower cycloalkenyl lower alkyl;

 R_{11} is OR_{13} , lower alkyl, aryl lower alkyl, lower cycloalkyl heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, lower cycloalkenyl lower alkyl;

and R_{10} and R_{11} may optionally be connected by a bridging group selected from the group consisting of O, S, NR_{30} , or $(CHR_{30})_m$, wherein each R_{30} is independently lower alkyl or hydrogen and m is 1-3;

 R_{12} and R_{13} are independently lower alkyl, lower cycloalkyl, lower cycloalkyl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower cycloalkenyl, or lower cycloalkenyl lower alkyl;

ring A_1 and ring B are independently aromatic containing 6 to 14 ring carbon atoms or cycloalkenyl or cycloalkyl, each containing 5 to 14 ring carbon atoms;

 $R_{b1},\,R_{c1},\,R_{b2},\,R_{c2}$ are independently hydrogen, lower alkyl or electron donating group;

T is CHR₃₁, O, S or NR₃₁; and R_{31} is hydrogen or lower alkyl.

- 127. The process according to Claim 1 wherein R_{10} and R_{11} contain aryl or heteroaromatic groups or a combination thereof and at least one said aryl or heteroaromatic group is substituted by t-butyl or t-amyl.
- 128. The compound according to Claim 20 wherein at least one of R_{b1} , R_{c1} , R_{b2} or R_{c2} is t-butyl or amyl.
 - 129. The process according to Claim 128 wherein the aryl or

heteroaromatic ring in R_{10} and R_{11} attached to the $\stackrel{|}{P}$ groups is substituted by t-butyl or t-amyl groups.